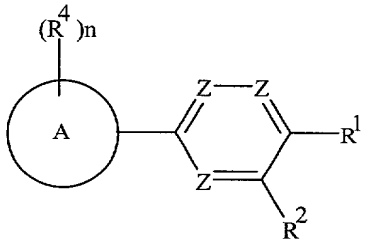
WHAT IS CLAIMED IS:

1. A DNA-PK inhibitor having a formula



or a pharmaceutically acceptable salt thereof, wherein:

n is an integer 0 through 4;

Z, independently, is CR^3 or N;

A is an optionally substituted four- to seven-membered aliphatic ring containing 0, 1, 2, or 3 heteroatoms, independently selected from the group consisting of N, O, and S;

R^1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, heterocycloalkyl, $N(R^h)_2$, OR^h, carboxyl, carboxy, nitro, hydrazono, hydroxyamino, cyano, aldehyde, carboxamide, thiocarboxamide, acyl, mercapto, sulfonyl, trifluoromethyl, heteroaryl, and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, carbamoyl, carboxamide, $N(R^h)_2$, carboxy, OR^h, sulfamyl, nitro, phosphate, and sulfonamido; or

R^1 and R^2 are taken together with the carbon atoms to which each is attached to form a 5-, 6-, or 7-membered ring, wherein 1, 2, or 3 carbon atoms of R^1 and R^2 optionally are a heteroatom selected from the group consisting of O, N, S, and P, said ring optionally substituted with one or more =O, =S, =NH, OR^b, $N(R^h)_2$, carboxyl, carboxy, alkyl, aryl, substituted aryl, heteroaryl, or substituted heteroaryl, said heteroatom optionally substituted with a group selected from the group consisting of aryl, substituted aryl, alkyl, alkyl substituted with acyl, and acyl;

R^3, independently, is selected from the group consisting of hydrogen, halo, aldeyhde, OR^h, nitro, $N(R^h)_2$, carboxyl, carboxy, sulfonamido, sufamyl, and sulfo or a halide derivative thereof,

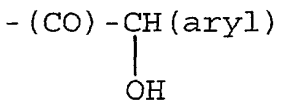
wherein R^h, independently, is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl; and

R^4, independently, is selected from the group consisting of OR^h, halo, $N(R^h)_2$, aldehyde, alkyl, substituted alkyl, acyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

with the proviso that when A is morpholinyl, R^2 and R^4 are hydrogen, and ZR^3 is CH at each occurrence, then R^1 is different from -(CO)-CH_3, (C=CH_2)-phenyl, and nitro; and with the proviso that when A is morpholinyl, R^4 is hydrogen, and Z is nitrogen at each occurrence, then R^1 and R^2, when taken together, is different from triazole.

2. The inhibitor of claim 1 wherein A is selected from the group consisting of morpholinyl, piperazinyl, thiomorpholinyl, piperidinyl, and tetrahydropyranyl.

3. The inhibitor of claim 1 wherein R^1 is selected from the group consisting of -H, $-NH_2$, $-(CO)-NH_2$, -(CO)-NH-OH, $-(CO)-NH-NH_2$, $-(CO)-NH-NH-R^f$, -(CO)-OH, $-(CO)-O-CH_3$, $-(CO)-O-CH_2-CH_3$, -(CO)-(4-methoxy)phenyl, -(CO)-(4-hydroxy)phenyl, -(CO)-(3-chlorophenyl), -(CO)-phenyl, -(CO)-benzyl, $-(CO)-C_{1-4}$alkyleneOR^h, $-(CO)-C_{1-4}$alkyleneSR^h,



$-NO_2$, -OH, $-(CO)-C_{1-4}$ alkyl, -cycloalkyl, -(CO)-substituted alkyl, -(CO)-(methoxy)alkyl, -(CO)-(alkoxy) substituted alkyl, -(CO)-aryl, -(CO)-heteroaryl, $-(CO)-(\text{substituted alkyl})_p$-aryl, $-(CO)-(\text{substituted alkoxy})_p$-aryl, $-(CO)-((NR^k)_p$-substituted alkoxy)-aryl, $-(CO)-\text{aryl}-R^d$, $-(CO)-\text{aryl}-R^e$, $-(CO)-\text{aryl}-R^f$, -CH=N-OH, $-CH=N-NH_2$, $-CH=N-NH-CH_3$, $-CH=N-NH-CH_2$-phenyl, $-CF_3$, $-(CO)-CF_3$, $-(CO)-CH_2$-morpholinyl, $-(CO)-CH_2$-heteroaryl, $-(CO)-CH_2-CH-(CH_3)_2$, $-(CO)-CH_2-CH_2-(SO_2)-CH_3$, -CHO, -C≡N, $-CH_2-OH$, $-(CO)NR^dR^e$, $-(CS)-NH_2$, $-(CO)-R^f$, $-(CO)-CH_2Cl$, $-(CO)-CH_2-NR^dR^e$, $-(CO)-CH_2-S-(CO)-CH_3$, $-(CO)-CH_2-SH$, $-(SO_2)$-phenyl, 2-(anilino)-4-thiazolyl-, 2-(pyridyl)-4-thiazolyl-, -benzoxazolyl, -imidazolyl, -thiazolyl, -substituted thiazolyl, -benzimidazolyl, -benzothiazolyl, -tetrazolyl, -(N-benzyl)-tetrazolyl, -(N-methyl)-tetrazolyl, -pyrazolyl, -(N-benzyl)-pyrazolyl, -(N-methyl)-pyrazolyl, -(N-acetyl)-pyrazolyl, -(N-mesyl)-pyrazolyl, -pyrazolyl-(CO)- R^uR^v,

-(N-phenyl)-piperazinyl, -isoxazolyl, -pyrimidinyl, -(2-NH-CH_2-phenyl)-pyrimidinyl, -(2-(SO)-methyl)-pyrimidinyl, -(2-N-(N-t-butoxycarbonyl)-piperazinyl)-pyrimidinyl, and -(2-NH-CH_2-pyridine)-pyrimidinyl;

wherein R^d is selected from the group consisting of -H, -alkyl, -CH_2-phenyl, -phenyl, -O-CH_3, -pyridyl, -thiazolyl, -thiazinyl, -O-CH_2-phenyl, -O-phenyl, -O-methoxyphenyl, -OH, -CH_2-(CO)-O-CH_3, and -CH_2-(CO)-OH;

R^e is selected from the group consisting of -H, -CH_2-CH_2-O-CH_3, -CH_2-CH_2-CH_2-N$(CH_3)_2$, -O-CH_3, -CH_2-CH_2-(SO_2)-CH_3, -O-CH_3, -CH_2-pyridyl, -CH_2-phenyl, -alkyl, -CH_2-(CO)-O-CH_3, and -cylcopropyl; or

R^d and R^e are taken together to form -morpholinyl, -phenylpiperazinyl, -imidazolyl, -pyrrolidinyl, -(N-methyl)-piperazinyl, and -piperidinyl;

R^f is selected from the group consisting of -phenyl, -phenyl-(CF_3), -methylphenyl, -methoxyphenyl, -pyridyl, -alkyl, -benzyl, -thiophenyl, -thiazolyl, -chlorophenyl, -C(=NH)-NH_2, -fluorophenyl, -(CO)-phenyl, -(CH_2)-phenyl;

R^u is selected from the group consisting of -H, and -alkyl;

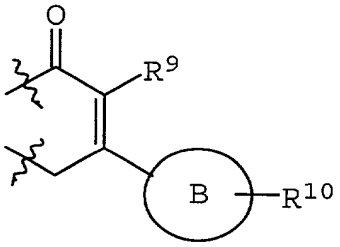
R^v is selected from the group consisting of -O-(CO)-CH_3, -NH-t-butoxycarbonyl, -O-phenyl, and -O-CH_2-phenyl; or

R^u and R^v are taken together with the carbon atoms to which they are attached to form a 5-membered ring containing an N, said N optionally protected with t-butoxycarbonyl;

R^2 is selected from the group consisting of -H, -OH, -Halo, -CH_2-OH, -(CO)-NH_2, -NH_2, -(CO)-O-CH_3, -O-CH_3, -NH-(CO)-CF_3, -NH-(CO)-CH_3, -NH-(SO_2)-CH_3, -NH-CH_3, -N(CH_3)-(CO)-CF_3, -N=((CH(phenyl)-CH_2-(CO)OH, -NO_2, -O-$PO_3^=$, -O-alkyl, -O-$(CH_2)_p$-OH, -O-$(CH_2)_p$-O-benzyl, -O-(CO)-heteroaryl, -O-(CO)-amino acid, -O-(CO)-nicotinic acid, -O-(CO)-aryl, -O-(CO)-alkyl, -O-CH_2-(CO)-benzyl, -O-(SO_2)-O-CF_3, -(CH_2)-CH=CH=N$(CH_3)_2$, -O-(SO_3)-, and -O-(PO)$(OR^j)(OR^k)$;

wherein R^j independently are H, aryl, alkyl, or heterocyclic; or

R^1 and R^2 are taken together to form a three- or four-membered component, respectively, of a five- or six-membered ring, preferably said ring selected from the group consisting of -2-imidazolidonyl-, -R^g-thiazolyl-, -carbonylpyrrolyl methyl ketone-, -4-imino- 1,3,2,-oxathiaphosphanyl-2-thione-, -4-imino-1,3,2,-oxathiaphosphanyl-2-thione-2-(4'-methoxy)phenyl-, -3-oxofuranyl-, -N-acetyl-3-oxopyrrolinyl-, -N-(CH_2-COOH)-quinolonyl-, -N-(t-butoxycarbonyl)-quinolonyl-, -N-(CH_2-COOH)-quinolinyl-, -N-(t-butoxycarbonyl)-quinolinyl-, and



wherein B is aryl or a nitrogen-containing heteroaryl, R^9 is H or OR^h, and R^{10} is selected from the group consisting of halo, OR^h, $O(CH_2)_{1-3}N(R^h)_2$, $O(CH_2)_{1-3}CO_2H$, CN, morpholinyl, and N-(4-methyl)-piperazinyl;

wherein R^g is selected from the group consisting of -pyridyl and -anilino;

R^3, independently, is selected from the group consisting of -H, -OH, $-OR^d$, $-NO_2$, $-NH_2$, $-NH-R^d$, -halo, -CHO, $-(SO_2)-OH$, $-(SO_2)-Cl$, and $-(SO_2)-NR^iR^k$;

wherein R^i is selected from the group consisting of -H, $-CH_3$, $-CH_2$-phenyl, -phenyl, $-CH_2-CH_2-O-CH_3$, $-CH_2-CH_2-CH_2-N(CH_3)_2$, $-O-CH_3$, $-CH_2-CH_2-(SO_2)-CH_3$, -pyridyl, -thiazolyl, $-O-CH_2$-phenyl, -OH, $-CH_2-(CO)-O-CH_3$, and $-CH_2-(CO)-OH$;

R^k is selected from the group consisting of -H, -O-CH_3, -CH_2-pyridyl, -CH_2-phenyl, -CH_3, -CH_2-(CO)-O-CH_3, -cyclopropyl, and -CH_2-cyclopropyl; or

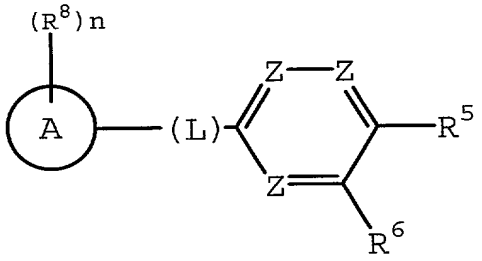
R^i and R^k are taken together to form morpholinyl, phenylpiperazinyl, imidazolyl, pyrrolidinyl, (N-methyl)-piperazinyl, and piperidinyl; and

R^4, independently, is selected from the group consisting of -H, -CH_3, -OCH_3, -OH, -(CO)-CH_3, -methoxyphenyl, and -pyridinyl.

4. The inhibitor of claim 1 wherein R^1 is selected from the group consisting of -H, -OH, -NH_2, -CH_2OH, -C≡N, -(CO)-NH_2, -(CO)-OH, -(CO)-O-CH_3, -CH=N-OH, -CH=N-NH_2, -CH=N-NH-CH_3, -(CO)-CF_3,-(CO)H, -NO_2, -(CO)-alkyl, -(CO)-substituted alkyl, -(CO)-aryl, -(CO)-substituted aryl, -(CO)-heteroaryl, -(CO)-CH_2-NR^dR^e, and -(CO)NR^dR^e.

5. The inhibitor of claim 1 wherein R^2 is -H, -OH, -F, -CH_2-OH, -NH_2, -NH-(CO)-CF_3, -NH-(CO)-CH_3, -NH-(SO_2)-CH_3, -NH-CH_3, and -N(CH_3)-(CO)-CF_3.

6. A DNA-PK inhibitor having a formula:



or a pharmaceutically acceptable salt thereof, wherein:

Z, independently, is CR^7 or N;

L is selected from the group consisting of alkylene, substituted alkylene, carbonyl, carbamoyl, NR^h, oxy (-O-), thio (-S-), thionyl (-SO-), and sulfonyl;

A is absent, or A is an optionally substituted four- to seven-membered aliphatic ring containing 0, 1, 2, or 3 heteroatoms, independently selected from the group consisting of N, O, and S;

R^5 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, heterocycloalkyl, $N(R^h)_2$, OR^h, carboxyl, carboxy, nitro, hydrazono, hydroxyamino, cyano, aldehyde, carboxamide, thiocarboxamide, acyl, mercapto, sulfonyl, trifluoromethyl, heteroaryl, and substituted heteroaryl;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, carbamoyl, carboxamide, $N(R^h)_2$, carboxy, OR^h, sulfamyl, nitro, phosphate, and sulfonamido; or

R^5 and R^6 are taken together with the carbon atoms to which each is attached to form a 5-, 6-, or 7-membered ring, wherein 1, 2, or 3 carbon atoms of R^5 and R^6 optionally are a heteroatom selected from the group consisting of O, N, S, and P, said ring optionally substituted with one or more of =O, =S, =NH, OR^h, $N(R^h)_2$, carboxyl, carboxy, alkyl, aryl, substituted aryl, heteroaryl, or substituted heteroaryl, and said heteroatom optionally substituted with a substituent selected from the group consisting of aryl, substituted aryl, alkyl, alkyl substituted with acyl, and acyl;

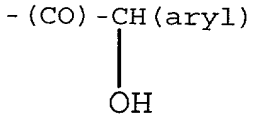
R^7, independently, is selected from the group consisting of hydrogen, halo, aldehyde, OR^h, nitro, $N(R^h)_2$, carboxyl, carboxy, sulfamyl, sulfonamido, and sulfo or a halide derivative thereof,

wherein R^h, independently, is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl; and

R^8, independently, is selected from the group consisting of OR^h, halo, $N(R^h)_2$, aldehyde, alkyl, subtituted alkyl, acyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

7. The inhibitor of claim 6 wherein

R^5 is selected from the group consisting of -H, $-NH_2$, $-(CO)-NH_2$, $-(CO)-NH-OH$, $-(CO)-NH-NH_2$, $-(CO)-NH-NH-R^f$, -(CO)-OH, $-(CO)-O-CH_3$, $-(CO)-O-CH_2-CH_3$, -(CO)-(4-methoxy)phenyl, -(CO)-(4-hydroxy)phenyl, -(CO)-(3-chlorophenyl), -(CO)-phenyl, -(CO)-benzyl, $-(CO)-C_{1-4}alkyleneOR^h$, $-(CO)-C_{1-4}alkyleneSR^h$,



,

$-NO_2$, -OH, $-(CO)-C_{1-4}$ alkyl, -cycloalkyl, -(CO)-substituted alkyl, -(CO)-(methoxy)alkyl, -(CO)-(alkoxy) substituted alkyl, -(CO)-aryl, -(CO)-heteroaryl, $-(CO)-(substituted\ alkyl)_p-aryl$, $-(CO)-(substituted\ alkoxy)_p-aryl$, $-(CO)-((NR^k)_p-substituted\ alkoxy)-aryl$, $-(CO)-aryl-R^d$, $-(CO)-aryl-R^e$, $-(CO)-aryl-R^f$, -CH=N-OH, $-CH=N-NH_2$, $-CH=N-NH-CH_3$, $-CH=N-NH-CH_2$-phenyl, $-CF_3$, $-(CO)-CF_3$, $-(CO)-CH_2$-morpholinyl, $-(CO)-CH_2$-heteroaryl, $-(CO)-CH_2-CH-(CH_3)_2$, $-(CO)-CH_2-CH_2-(SO_2)-CH_3$, -CHO, -C≡N, $-CH_2-OH$, $-(CO)NR^dR^e$, $-(CS)-NH_2$, $-(CO)-R^f$, $-(CO)-CH_2Cl$, $-(CO)-CH_2-NR^dR^e$, $-(CO)-CH_2-S-(CO)-CH_3$, $-(CO)-CH_2-SH$, $-(SO_2)$-phenyl, 2-(anilino)-4-thiazolyl-, 2-(pyridyl)-4-thiazolyl-, -benzoxazolyl, -imidazolyl, -thiazolyl, -substituted thiazolyl, -benzimidazolyl, -benzothiazolyl, -tetrazolyl, -(N-benzyl)-tetrazolyl, -(N-methyl)-tetrazolyl, -pyrazolyl, -(N-benzyl)-pyrazolyl, -(N-methyl)-pyrazolyl, -(N-acetyl)-pyrazolyl, -(N-mesyl)-pyrazolyl, $-pyrazolyl-(CO)-\ R^uR^v$, -(N-phenyl)-piperazinyl, -isoxazolyl, -pyrimidinyl, $-(2-NH-CH_2-phenyl)-$pyrimidinyl, -(2-(SO)-methyl)-pyrimidinyl, -(2-N-(N-t-butoxycarbonyl)-piperazinyl)-pyrimidinyl, and $-(2-NH-CH_2-pyridine)-$pyrimidinyl;

wherein R^d is selected from the group consisting of -H, -alkyl, -CH_2-phenyl, -phenyl, -O-CH_3, -pyridyl, -thiazolyl, -thiazinyl, -O-CH_2-phenyl, -O-phenyl, -O-methoxyphenyl, -OH, -CH_2-(CO)-O-CH_3, and -CH_2-(CO)-OH;

R^e is selected from the group consisting of -H, -CH_2-CH_2-O-CH_3, -CH_2-CH_2-CH_2-N$(CH_3)_2$, -O-CH_3, -CH_2-CH_2-(SO_2)-CH_3, -O-CH_3, -CH_2-pyridyl, -CH_2-phenyl, -alkyl, -CH_2-(CO)-O-CH_3, and -cylcopropyl; or

R^d and R^e are taken together to form -morpholinyl, -phenylpiperazinyl, -imidazolyl, -pyrrolidinyl, -(N-methyl)-piperazinyl, and -piperidinyl;

R^f is selected from the group consisting of -phenyl, -phenyl-(CF_3), -methylphenyl, -methoxyphenyl, -pyridyl, -alkyl, -benzyl, -thiophenyl, -thiazolyl, -chlorophenyl, -C(=NH)-NH_2, -fluorophenyl, -(CO)-phenyl, -(CH_2)-phenyl;

R^u is selected from the group consisting of -H, and -alkyl;

R^v is selected from the group consisting of -O-(CO)-CH_3, -NH-t-butoxycarbonyl, -O-phenyl, and -O-CH_2-phenyl; or

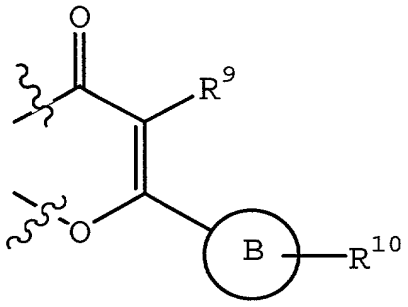
R^u and R^v are taken together with the carbon atoms to which they are attached to form a 5-membered ring containing an N, said N optionally protected with t-butoxycarbonyl;

R^6 is selected from the group consisting of -H, -OH, -Halo, -CH_2-OH, -(CO)-NH_2, -NH_2, -(CO)-O-CH_3, -O-CH_3, -NH-(CO)-CF_3, -NH-(CO)-CH_3, -NH-(SO_2)-CH_3, -NH-CH_3, -N(CH_3)-(CO)-CF_3, -N=((CH(phenyl)-CH_2-(CO)OH, -NO_2, -O-$PO_3^{=}$, -O-alkyl, -O-$(CH_2)_p$-OH, -O-$(CH_2)_p$-O-benzyl, -O-(CO)-heteroaryl, -O-(CO)-amino acid, -O-(CO)-nicotinic acid, -O-(CO)-aryl, -O-(CO)-alkyl, -O-CH_2-(CO)-benzyl, -O-(SO_2)-O-CF_3, -(CH_2)-CH=CH=N$(CH_3)_2$, -O-(SO_3)-, and -O-(PO)$(OR^j)(OR^k)$;

wherein R^j independently are H, aryl, alkyl, or heterocyclic; or

R^5 and R^6 are taken together to form a three- or four-membered component, respectively, of a five- or six-membered ring, preferably said ring selected from the group consisting of -2-imidazolidonyl-, -R^g-thiazolyl-, -carbonylpyrrolyl methyl ketone-, -4-imino- 1,3,2,-oxathiaphosphanyl-2-

thione-, -4-imino-1,3,2,-oxathiaphosphanyl-2-thione-2-(4'-methoxy)phenyl-, -3-oxofuranyl-, -N-acetyl-3-oxopyrrolinyl-, -N-(CH_2-COOH)-quinolonyl-, -N-(t-butoxycarbonyl)-quinolonyl-, -N-(CH_2-COOH)-quinolinyl-, -N-(t-butoxycarbonyl)-quinolinyl-, and



wherein B is aryl or a nitrogen-containing heteroaryl, R^9 is H or OR^h, and R^{10} is selected from the group consisting of halo, OR^h, $O(CH_2)_{1-3}N(R^h)_2$, $O(CH_2)_{1-3}CO_2H$, CN, morpholinyl, and N-(4-methyl)-piperazinyl;

wherein R^g is selected from the group consisting of -pyridyl and -anilino;

R^7, independently, is selected from the group consisting of -H, -OH, $-OR^d$, $-NO_2$, $-NH_2$, $-NH-R^d$, -halo, -CHO, $-(SO_2)-OH$, $-(SO_2)-Cl$, and $-(SO_2)-NR^iR^k$;

wherein R^i is selected from the group consisting of -H, $-CH_3$, $-CH_2$-phenyl, -phenyl, $-CH_2-CH_2-O-CH_3$, $-CH_2-CH_2-CH_2-N(CH_3)_2$, $-O-CH_3$, $-CH_2-CH_2-(SO_2)-CH_3$, -pyridyl, -thiazolyl, $-O-CH_2$-phenyl, -OH, $-CH_2-(CO)-O-CH_3$, and $-CH_2-(CO)-OH$;

R^k is selected from the group consisting of -H, $-O-CH_3$, $-CH_2$-pyridyl, $-CH_2$-phenyl, $-CH_3$, $-CH_2-(CO)-O-CH_3$, -cyclopropyl, and $-CH_2$-cyclopropyl; or

R^i and R^k are taken together to form morpholinyl, phenylpiperazinyl, imidazolyl, pyrrolidinyl, (N-methyl)-piperazinyl, and piperidinyl; and

R^8, independently, is selected from the group consisting of -H, $-CH_3$, $-OCH_3$, -OH, $-(CO)-CH_3$, -methoxyphenyl, and -pyridinyl.

8. The inhibitor of claim 6 wherein R^5 is selected from the group consisting of -H, -OH, $-NH_2$, $-CH_2OH$, $-C\equiv N$, $-(CO)-NH_2$, -(CO)-OH, $-(CO)-O-CH_3$, $-CH=N-OH$, $-CH=N-NH_2$, $-CH=N-NH-CH_3$, $-(CO)-CF_3$,-(CO)H, $-NO_2$, -(CO)-alkyl, -(CO)-substituted alkyl, -(CO)-aryl, -(CO)-substituted aryl, -(CO)-heteroaryl, $-(CO)-CH_2-NR^dR^e$, and $-(CO)NR^dR^e$.

9. The inhibitor of claim 6 wherein R^6 is selected from the group consisting of -H, -OH, -F, $-CH_2-OH$, $-NH_2$, $-NH-(CO)-CF_3$, $-NH-(CO)-CH_3$, $-NH-(SO_2)-CH_3$, $-NH-CH_3$, and $-N(CH_3)-(CO)-CF_3$.

10. A DNA-PK inhibitor selected from the group consisting of: benzyl 2-((4-benzyl)carbonyl)-5-morpholin-4-yl-benzene phosphate; 4-methylphenyl 4-morpholin-4-yl-2-(phosphonooxy)phenyl methanone disodium salt; 5-morpholin-4-yl-2-nitrophenylamine; 5-(4-methyl-piperazin-1-yl)-2-nitrophenylamine; 2-hydroxymethyl-5-morpholin-4-yl-phenol; 2-nitro-5-thiomorpholin-4-yl-phenylamine; *N*^{1}-morpholin-4-yl-4-nitrobenzene-1,3-diamine; 1-(3-amino-4-nitrophenyl)-piperidin-4-ol; 2-nitro-5-piperidin-1-yl-phenylamine; 5-(4-acetyl-piperazin-1-yl)-2-nitrophenylamine; 2-nitro-5-piperazin-1-yl-phenylamine; 1-(3-amino-4-nitrophenyl)-piperidin-3-ol; *N*^{1}-(2-morpholin-4-yl-ethyl)-4-nitrobenzene-1,3-diamine; 5-(4-(2-methoxyphenyl)-piperazin-1-yl]-2-nitrophenylamine; 5-(cis-2,6-dimethylmorpholin-4-yl)-2-nitrophenylamine; 2-nitro-5-(4-pyridin-2-yl-piperazin-1-yl)-phenylamine; *N*^{1}-(3-morpholin-4-yl-propyl)-4-nitrobenzene-1,3-diamine; 2-hydroxy-4-morpholin-4-yl-benzonitrile; (5-morpholin-4-yl-2-nitrophenyl)-methanol; 2-hydroxy-4-morpholin-4-yl-benzoic acid; 2-hydroxy-4-morpholin-4-yl-benzoic acid methyl ester; 5-morpholin-4-yl-2-nitro-benzamide; 2-hydroxy-4-morpholin-4-yl-benzaldehyde; 5-morpholin-4-yl-2-nitro-phenol; 1-(2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone; 1-(2-hydroxy-4-morpholin-4-yl-phenyl)-propan-1-one; 1-(2-hydroxy-4-morpholin-4-yl-phenyl)-3-methyl-butan-1-one; 1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-phenyl-methanone; 2,2,2-trifluoro-1-(2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

4-amino-2-morpholin-4-yl-pyrimidine-5-carboxylic acid;

1-(5-bromo-2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(3-bromo-2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(3,5-dichloro-2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(3-chloro-2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(5-fluoro-2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(3-fluoro-2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(2-hydroxy-4-(tetrahydropyran-4-yloxy)-phenyl]-ethanone;

5-(morpholin-4-yl)-1,3-dihydro-benzimidazol-2-one;

2-methoxy-4-morpholin-4-yl-benzaldehyde;

4-methoxy-6-morpholin-4-yl-benzene-1,3-dicarbaldehyde;

2-hydroxy-5-morpholin-4-yl-benzoic acid methyl ester;

2-((hydroxyimino)methyl)-5-morpholin-4-yl-phenol;

2-hydrazonomethyl-5-morpholin-4-yl-phenol;

2-hydroxy-4-((1-morpholin-4-yl-methanoyl)-amino]-benzoic acid;

2-hydroxy-4-morpholin-4-ylmethyl-benzoic acid methyl ester hydrochloride;

2-hydroxy-4-morpholin-4-ylmethyl-benzoic acid trifluoroacetate;

2-hydroxy-4-morpholin-4-ylmethyl benzoic acid hydrochloride;

4-amino-2-hydroxy-benzoic acid methyl ester;

2-hydroxy-4-morpholin-4-yl-benzoic acid methyl ester;

2-hydroxy-*N*-methyl-4-morpholin-4-yl-benzamide;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-morpholin-4-yl-methanone;

2-hydroxy-4-morpholin-4-yl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-benzyl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-phenyl-benzamide;

N-cyclopropyl-2-hydroxy-4-morpholin-4-yl-*N*-phenyl-benzamide;

2-hydroxy-*N*-(2-methoxy-ethyl)-4-morpholin-4-yl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-methoxy-*N*-methyl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-(3-dimethylamino-propyl)-benzamide;

2-hydroxy-*N*-methoxy-4-morpholin-4-yl-benzamide;

2-hydroxy-*N*-(2-methanesulfonyl-ethyl)-4-morpholin-4-yl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-pyridin-3-yl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-pyridin-4-yl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-thiazol-2-yl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-(1,4-thiazin-2-yl)-benzamide;

2,*N*-dihydroxy-4-morpholin-4-yl-benzamide;

2-hydroxy-4-morpholin-4-yl-*N*-(4-pyridylmethyl)-benzamide;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-(4-phenylpiperizin-1-yl)-methanone;

2-hydroxy-4-morpholin-4-yl-benzoic acid;

N-carboxymethyl-2-hydroxy-4-morpholin-4-yl-phenyl)-carboxamide methyl ester;

N-carboxymethyl-2-hydroxy-4-morpholin-4-yl-phenyl-carboxamide;

2-hydroxy-4-morpholin-4-yl-thiobenzamide;

2-(4-ethylphenyl)-4-imino-7-morpholin-4-yl-benzo(e)-1,3,2-oxathiaphosphane-2-thione;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-phenyl-methanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-(4-trifluoromethylphenyl)-methanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-(*o*-tolyl)-methanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-(4-methoxyphenyl)-methanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-pyridin-3-yl-methanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-pentan-1-one;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-2-phenyl-ethanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-thiophen-2-yl-methanone;

2-hydroxy-4-morpholin-4-yl-phenyl-1,3-thiazol-2-yl ketone;

1-(3-chlorophenyl)-1-(2-hydroxy-4-morpholin-4-yl-phenyl)-methanone;

2-chloro-1-(2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-2-morpholin-4-yl-ethanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-2-imidazol-1-yl-ethanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-pyrrolidin-1-yl-methanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-1-(4-methylpiperazin-1-yl)-methanone;

2-hydroxy-4-morpholin-4-yl-phenyl-1-piperidin-1-yl-methanone;

2-(benzyl-methyl-amino)-1-(2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

2-acetylthio-1-(2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone;

1-(2-hydroxy-4-morpholin-4-yl-phenyl)-2-mercapto-ethanone;

6-morpholin-4-yl-2-hydrobenzo(b]furan-3-one;

4-(2-methyl-4-morpholin-4-yl-phenyl)-2-(3-pyridyl)-1,3-thiazole;

5-morpholin-4-yl-2-(2-phenylamino-1,3-thiazol-4-yl)-phenol;

3-methoxy-1-morpholin-4-yl-benzene;

4-methoxy-2-morpholin-4-yl-benzenesulfonic acid;

4-methoxy-2-morpholin-4-yl-benzenesulfonyl chloride;

4-methoxy-*N*-methyl-2-morpholin-4-yl-benzenesulfonamide;

4-methoxy-2-morpholin-4-yl-*N*-benzyl-benzenesulfonamide;

4-methoxy-2-morpholin-4-yl-*N*-cyclopropylmethyl-benzenesulfonamide;

N,*N*-diethyl-(3-morpholin-4-yl-phenoxy)carboxamide;

N,*N*-diethyl -(2-benzenesulfonyl-5-morpholin-4-yl-phenoxy)carboxamide;

2-benzenesulfonyl-5-morpholin-4-yl-phenol;

3-nitro-1-morpholin-4-yl-benzene;

3-morpholin-4-yl-phenylamine;

1-(2-amino-4-morpholin-4-yl-phenyl)-2-chloro-ethanone;

2-amino-4-morpholin-4-yl-*N*-benzyl-*N*-methyl-benzamide;

1-(2-amino-4-morpholin-4-yl-phenyl)-1-pyrrolidin-1-yl-methanone;

(2-amino-4-morpholin-4-yl-phenyl)-1-piperidin-1-yl-methanone;

2-amino-4-fluorobenzoic acid methyl ester;

4-fluoro-2-(2,2,2-trifluoroacetylamino)-benzoic acid methyl ester;

4-morpholin-4-yl-2-(2,2,2-trifluoroacetylamino)-benzoic acid methyl ester;

2-amino-4-morpholin-4-yl-benzoic acid;

2-methylsulfonylamino-4-morpholin-4-yl-benzoic acid;

4-morpholin-4-yl-2-(2,2,2-trifluoroacetylamino)-*N*-benzyl-benzamide;

N,*N*-dimethyl-4-morpholin-4-yl-2-(2,2,2-trifluoroacetylamino)-benzamide;

2-amino-4-morpholin-4-yl-*N*,*N*-dimethyl-benzamide;

N-methyl-4-morpholin-4-yl-2-(2,2,2-trifluoroacetylamino)-benzamide;

2-amino-4-morpholin-4-yl-benzoic acid methyl ester;

2-acetylamino-4-morpholin-4-yl-benzoic acid methyl ester;

2-acetylamino-4-morpholin-4-yl-benzoic acid;

2-methanesulfonylamino-4-morpholin-4-yl-benzoic acid methyl ester;

(2-*N*-methyl-*N*-(2,2,2-trifluoroacetyl)amino)-4-morpholin-4-yl-benzoic acid methyl ester;

2-methylamino-4-morpholin-4-yl-benzoic acid methyl ester;

2-methylamino-4-morpholin-4-yl-benzoic acid;

2-chloro-1-(2-acetamido-4-morpholin-4-yl-phenyl)-ethanone;

1-acetyl-6-morpholin-4-yl-1,2-dihydro-indol-3-one;

4-morpholin-4-yl-2-nitro-benzoic acid methyl ester;

4-morpholin-4-yl-2-nitro-benzoic acid;

4-morpholin-4-yl-2-nitrophenyl)-*N*-(methylcarboxymethyl)benzamide;

5-hydroxy-7-morpholin-4-yl-2-phenyl-chromen-4-one;

5-hydroxy-2-phenyl-7-piperidin-1-yl-chromen-4-one;

trifluoromethanesulfonic acid 3,5-dihydroxy-4-oxo-2-phenyl-4H-chromen-7-yl ester;

3,5-dihydroxy-7-morpholin-4-yl-2-phenyl-chromen-4-one;

trifluoromethanesulfonic acid 4-acetyl-3,5-dihydroxy-phenyl ester;

1-(2,6-dihydroxy-4-morpholin-4-yl-phenyl)-ethanone;

4-(5-hydroxy-7-morpholin-4-yl-4-oxo-4H-chromen-2-yl)-benzonitrile;

3-(5-hydroxy-7-morpholin-4-yl-4-oxo-4H-chromen-2-yl)-benzonitrile;

5-hydroxy-2-(4-methoxyphenyl)-7-morpholin-4-yl-chromen-4-one;

5-hydroxy-7-morpholin-4-yl-2-pyridin-3-yl-chromen-4-one;

2-hydroxy-1-(2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone; and

2-hydroxy-1-(2-hydroxy-4-morpholin-4-yl-phenyl)-ethanone.

11. A pharmaceutical composition comprising (a) DNA-PK inhibitor claim 1 or claim 6, and (b) a pharmaceutically acceptable carrier or diluent.

12. A pharmaceutical composition comprising (a) a DNA-PK inhibitor of claim 1 or 6, and (b) an anti-neoplastic agent.

13. The pharmaceutical composition of claim 12, with the proviso that when A is morpholinyl, L is absent, R^2 and R^4 are hydrogen, and ZR^3 is CH at each occurrence, then R^1 is different from -(CO)-CH_3, -(C=CH_2)-phenyl, and nitro; and with the proviso that when A is morpholinyl, R^4 is hydrogen, and Z is nitrogen at each occurrence, then R^1 and R^2, when taken together, are different from triazole.

14. The pharmaceutical composition of claim 12 wherein A is a morpholinyl, and L is absent.

15. The pharmaceutical composition of claim 12 wherein

n is an integer from 0 through 4;

Z, independently, is CR^3 or N, or CR^7 or N;

L is absent, or L is selected from the group consisting of $-(CH_2)_p-$, $-(CHR^k)_p-$, $-NR^k-(CHR^k)_p-$, $-(CHR^k)-NR^k-$, $-NR^k-$, $-C(=O)-$, $-O-$, $-NR^k-(CO)-$, $-(CO)-NR^k-$, $-S-$, $-SO-$, $-SO_2-$, and $-NR^sR^t$ (only if A is absent), wherein p is an integer 1 to 5;

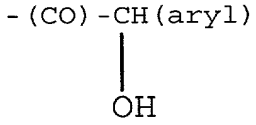
R^k is selected from the group consisting of alkyl, aryl, and hydrogen;

R^s is selected from the group consisting of hydrogen, and alkyl;

R^t is alkyl, optionally substituted with oxo, hydroxy, methoxy, benzyloxy, halo, aryl, or heteroaryl;

A is absent, or is selected from the group consisting of a four- to seven-membered heterocyclic ring containing 1 or 2 heteroatoms independently selected from the group consisting of N, O, and S;

R^1 or R^5 is selected from the group consisting of -H, $-NH_2$, $-(CO)-NH_2$, $-(CO)-NH-OH$, $-(CO)-NH-NH_2$, $-(CO)-NH-NH-R^f$, $-(CO)-OH$, $-(CO)-O-CH_3$, $-(CO)-O-CH_2-CH_3$, -(CO)-(4-methoxy)phenyl, -(CO)-(4-hydroxy)phenyl, -(CO)-(3-chlorophenyl), -(CO)-phenyl, -(CO)-benzyl, $-(CO)-C_{1-4}$alkyleneOR^h, $-(CO)-C_{1-4}$alkyleneSR^h,



$-NO_2$, -OH, $-(CO)-C_{1-4}$ alkyl, -cycloalkyl, -(CO)-substituted alkyl, -(CO)-(methoxy)alkyl, -(CO)-(alkoxy) substituted alkyl, -(CO)-aryl, -(CO)-heteroaryl, $-(CO)-(\text{substituted alkyl})_p-\text{aryl}$, $-(CO)-(\text{substituted alkoxy})_p-\text{aryl}$, $-(CO)-((NR^k)_p-\text{substituted alkoxy})-\text{aryl}$, $-(CO)-\text{aryl}-R^d$, $-(CO)-\text{aryl}-R^e$, -(CO)-

aryl-R^f, -CH=N-OH, -CH=N-NH_2, -CH=N-NH-CH_3, -CH=N-NH-CH_2-phenyl, -CF_3, -(CO)-CF_3, -(CO)-CH_2-morpholinyl, -(CO)-CH_2-heteroaryl, -(CO)-CH_2-CH-$(CH_3)_2$, -(CO)-CH_2-CH_2-(SO_2)-CH_3, -CHO, -C≡N, -CH_2-OH, -(CO)NR^dR^e, -(CS)-NH_2, -(CO)-R^f, -(CO)-CH_2Cl, -(CO)-CH_2-NR^dR^e, -(CO)-CH_2-S-(CO)-CH_3, -(CO)-CH_2-SH, -(SO_2)-phenyl, 2-(anilino)-4-thiazolyl-, 2-(pyridyl)-4-thiazolyl-, -benzoxazolyl, -imidazolyl, -thiazolyl, -substituted thiazolyl, -benzimidazolyl, -benzothiazolyl, -tetrazolyl, -(N-benzyl)-tetrazolyl, -(N-methyl)-tetrazolyl, -pyrazolyl, -(N-benzyl)-pyrazolyl, -(N-methyl)-pyrazolyl, -(N-acetyl)-pyrazolyl, -(N-mesyl)-pyrazolyl, -pyrazolyl-(CO)- R^uR^v, -(N-phenyl)-piperazinyl, -isoxazolyl, -pyrimidinyl, -(2-NH-CH_2-phenyl)-pyrimidinyl, -(2-(SO)-methyl)-pyrimidinyl, -(2-N-(N-t-butoxycarbonyl)-piperazinyl)-pyrimidinyl, and -(2-NH-CH_2-pyridine)-pyrimidinyl;

wherein R^d is selected from the group consisting of -H, -alkyl, -CH_2-phenyl, -phenyl, -O-CH_3, -pyridyl, -thiazolyl, -thiazinyl, -O-CH_2-phenyl, -O-phenyl, -O-methoxyphenyl, -OH, -CH_2-(CO)-O-CH_3, and -CH_2-(CO)-OH; and

R^e is selected from the group consisting of -H, -CH_2-CH_2-O-CH_3, -CH_2-CH_2-CH_2-$N(CH_3)_2$, -O-CH_3, -CH_2-CH_2-(SO_2)-CH_3, -O-CH_3, -CH_2-pyridyl, -CH_2-phenyl, -alkyl, -CH_2-(CO)-O-CH_3, and -cylcopropyl; or

R^d and R^e are taken together to form -morpholinyl, -phenylpiperazinyl, -imidazolyl, -pyrrolidinyl, -(N-methyl)-piperazinyl, and -piperidinyl;

R^f is selected from the group consisting of -phenyl, -phenyl-(CF_3), -methylphenyl, -methoxyphenyl, -pyridyl, -alkyl, -benzyl, -thiophenyl, -thiazolyl, -chlorophenyl, -C(=NH)-NH_2, -fluorophenyl, -(CO)-phenyl, -(CH_2)-phenyl;

R^u is selected from the group consisting of -H, and -alkyl;

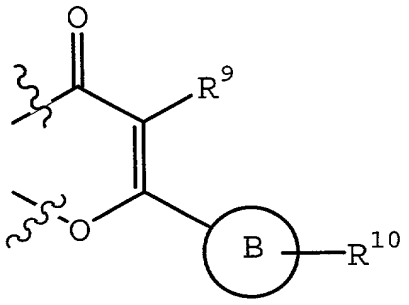
R^v is selected from the group consisting of -O-(CO)-CH_3, -NH-t-butoxycarbonyl, -O-phenyl, and -O-CH_2-phenyl; or

R^u and R^v are taken together with the carbon atoms to which they are attached to form a 5-membered ring containing an N, said N optionally protected with t-butoxycarbonyl;

R^2 or R^6 is selected from the group consisting of -H, -OH, -Halo, -CH_2-OH, -(CO)-NH_2, -NH_2, -(CO)-O-CH_3, -O-CH_3, -NH-(CO)-CF_3, -NH-(CO)-CH_3, -NH-(SO_2)-CH_3, -NH-CH_3, -N(CH_3)-(CO)-CF_3, -N=((CH(phenyl)-CH_2-(CO)OH, -NO_2, -O-$PO_3^=$, -O-alkyl, -O-$(CH_2)_p$-OH, -O-$(CH_2)_p$-O-benzyl, -O-(CO)-heteroaryl, -O-(CO)-amino acid, -O-(CO)-nicotinic acid, -O-(CO)-aryl, -O-(CO)-alkyl, -O-CH_2-(CO)-benzyl, -O-(SO_2)-O-CF_3, -(CH_2)-CH=CH=N(CH_3)$_2$, -O-(SO_3)-, and -O-(PO)(OR^j)(OR^k);

wherein R^j independently are H, aryl, alkyl, or heterocyclic; or

R^1 and R^2, or R^5 and R^6, are taken together to form a three- or four-membered component, respectively, of a five- or six-membered ring, preferably said ring selected from the group consisting of -2-imidazolidonyl-, -R^g-thiazolyl-, -carbonylpyrrolyl methyl ketone-, -4-imino- 1,3,2,-oxathiaphosphanyl-2-thione-, -4-imino-1,3,2,-oxathiaphosphanyl-2-thione-2-(4'-methoxy)phenyl-, -3-oxofuranyl-, -N-acetyl-3-oxopyrrolinyl-, -N-(-CH_2-COOH)-quinolonyl-, -N-(t-butoxycarbonyl)-quinolonyl-, -N-(-CH_2-COOH)-quinolinyl-, -N-(t-butoxycarbonyl)-quinolinyl-, and



wherein B is aryl or a nitrogen-containing heteroaryl, R^9 is H or OR^h, and R^{10} is selected from the group consisting of halo, OR^h, $O(CH_2)_{1-3}N(R^h)_2$, $O(CH_2)_{1-3}CO_2H$, CN, morpholinyl, and N-(4-methyl)-piperazinyl,

wherein R^g is selected from the group consisting of -pyridyl and -anilino;

R^3 or R^7, independently, is selected from the group consisting of -H, -OH, $-OR^d$, $-NO_2$, $-NH_2$, $-NH-R^d$, -halo, -CHO, $-(SO_2)-OH$, $-(SO_2)-Cl$, and $-(SO_2)-NR^iR^k$;

wherein R^i is selected from the group consisting of -H, $-CH_3$, $-CH_2$-phenyl, -phenyl, $-CH_2-CH_2-O-CH_3$, $-CH_2-CH_2-CH_2-N(CH_3)_2$, $-O-CH_3$, $-CH_2-CH_2-(SO_2)-CH_3$, -pyridyl, -thiazolyl, $-O-CH_2$-phenyl, -OH, $-CH_2-(CO)-O-CH_3$, and $-CH_2-(CO)-OH$;

R^k is selected from the group consisting of -H, $-O-CH_3$, $-CH_2$-pyridyl, $-CH_2$-phenyl, $-CH_3$, $-CH_2-(CO)-O-CH_3$, -cyclopropyl, and $-CH_2$-cyclopropyl; or

R^i and R^k are taken together to form morpholinyl, phenylpiperazinyl, imidazolyl, pyrrolidinyl, (N-methyl)-piperazinyl, and piperidinyl; and

R^4 or R^8, independently, is selected from the group consisting of -H, $-CH_3$, $-OCH_3$, -OH, $-(CO)-CH_3$, -methoxyphenyl, and -pyridinyl.

16. The pharmaceutical composition of claim 12 wherein R^1 or R^5 is selected from the group consisting of -H, -OH, $-NH_2$, $-CH_2OH$, $-C\equiv N$, $-(CO)-NH_2$, -(CO)-OH, $-(CO)-O-CH_3$, -CH=N-OH, $-CH=N-NH_2$, $-CH=N-NH-CH_3$, $-(CO)-CF_3$,-(CO)H, $-NO_2$, -(CO)-alkyl, -(CO)-substituted alkyl, -(CO)-aryl, -(CO)-substituted aryl, -(CO)-heteroaryl, $-(CO)-CH_2-NR^dR^e$, and $-(CO)NR^dR^e$.

17. The pharmaceutical composition of claim 12 wherein R^2 or R^6 is selected from the group consisting of -H, -OH, -F, $-CH_2$-OH, $-NH_2$, -NH-(CO)-CF_3, -NH-(CO)-CH_3, -NH-(SO_2)-CH_3, -NH-CH_3, and $-N(CH_3)-(CO)-CF_3$.

18. A pharmaceutical composition comprising: (a) a DNA-PK inhibitor of claim 10, and (b) an anti-neoplastic agent.

19. The pharmaceutical composition of claim 18 wherein the anti-neoplastic agent comprises a chemotherapeutic agent or a radiotherapeutic agent.

20. The pharmaceutical composition of claim 19 wherein the anti-neoplastic agent is selected from the group consisting of an alkylating agent, an antimetabolite, a type I topoisomerase inhibitor, an antimitotic drug, an antibiotic, an enzyme, a biological response modifier, a differentiation agent, and a radiosensitizer.

21. The pharmaceutical composition of claim 19 wherein the anti-neoplastic agent is selected from the group consisting of mechlorethamine, cyclophosphamide, ifosfamide, melphalan, carmustine, chlorambucil, lomustine, semustine, thriethylenemelamine, triethylene thiophosphoramide, hexamethylmelamine, busulfan, dacarbazine, methotrexate, trimetrexate, 5-fluorouracil, fluorodeoxyuridine, gemcitabine, cytosine arabinoside, 5-azacytidine, 2,2´-difluorodeoxycytidine, 6-mercaptopurine, 6-thioguanine, azathioprine, 2'-deoxycoformycin, erythrohydroxynonyladenine, fludarabine phosphate, 2-chlorodeoxyadenosine, camptothecin, topotecan, irinotecan, paclitaxel, vinblastine, vincristine, vinorelbine, docetaxel etoposide, teniposide, actinomycin D, daunomycin, doxorubicin, mitoxantrone, idarubicin, bleomycin, plicamycin, mitomycin C, dactinomycin, L-asparaginase, interferon-alpha, IL-2, G-CSF, GM-CSF, metronidazole, misonidazole, desmethylmisonidazole, pimonidazole etanidazole, nimorazole, RSU 1069, EO9, RB 6145, SR4233, nicotinamide, 5-bromodeozyuridine, 5-iododeoxyuridine, bromodeoxycytidine, cisplatin, carboplatin, mitoxantrone, hydroxyurea, N-methylhydrazine, procarbazine, mitotane, aminoglutethimide, prednisone, dexamethasone, hydroxyprogesterone caproate, hydroxyprogesterone acetate, megestrol acetate, diethylstilbestrol ethynyl estradiol, tamoxifen, testosterone propionate, fluoxymesterone, flutamide, leuprolide, flutamide, tin etioporphyrin, pheoboride-a, bacteriochlorophyll-a, a naphthalocyanine, a phthalocyanine, and a zinc phthalocyanine.

22. A method of inhibiting DNA-PK activity comprising the step of contacting a DNA-PK with a DNA-PK inhibitor of claim 1 or 6.

23. A method of sensitizing a cell type to an agent that induces DNA lesions comprising the step of contacting the cell type with a compound of claim 1 or 6.

24. The method of claim 23 wherein the agent that induces DNA lesions is selected from the group consisting of radiation, an exogenous chemical, a metabolite by-product, and combinations thereof.

25. A method of potentiating a therapeutic regimen for treatment of a cancer comprising the step of administering to an individual in need thereof an effective amount of a DNA-PK inhibitor of claim 1 or 6.

26. The method of claim 25 wherein the therapeutic regimen for treatment of cancer is selected from the group consisting of chemotherapy, radiation therapy, and a combination of chemotherapy and radiation therapy.

27. A method of characterizing the potency of a test compound as an inhibitor of a DNA-PK polypeptide, said method comprising the steps of:

a) measuring an activity of a DNA-PK polypeptide in the presence of a test compound;

b) comparing the activity of the DNA-PK polypeptide in the presence of the test compound to the activity of the DNA-PK polypeptide in the presence of an equivalent amount of a reference compound of claim 1 or 6, wherein a lower activity of the DNA-PK polypeptide in the presence of the test compound than in the presence of the reference compound indicates that the test compound is a more potent inhibitor than the reference compound, and a higher activity of the DNA-PK polypeptide in the presence of the test compound than in the presence of the reference compound indicates that the test compound is a less potent inhibitor than the reference compound.

28. A method of characterizing the potency of a test compound as an inhibitor of a DNA-PK polypeptide, said method comprising the steps of:

a) determining an amount of a control compound of claim 1 or 6 that inhibits an activity of a DNA-PK polypeptide by a reference percentage of inhibition, thereby defining a reference inhibitory amount for the control compound;

b) determining an amount of a test compound that inhibits an activity of a DNA-PK polypeptide by a reference percentage of inhibition, thereby defining a reference inhibitory amount for the test compound;

c) comparing the reference inhibitory amount for the test compound to a reference inhibitory amount determined according to step (a) for the control compound, wherein a lower reference inhibitory amount for the test compound than for the control compound indicates that the test compound is a more potent inhibitor than the control compound, and a higher reference inhibitory amount for the test compound than for the control compound indicates that the test compound is a less potent inhibitor than the control compound.

29. The method of claim 28 wherein the method comprises determining the reference inhibitory amount of the test compound in an *in vitro* biochemical assay.

30. The method of claim 29 wherein the method comprises determining the reference inhibitory amount of the test compound in an *in vitro* cell-based assay.

31. The method of claim 28 wherein the method comprises determining the reference inhibitory amount of the test compound in an *in vivo* assay.

32. An article of manufacture comprising:

a) an anti-cancer compound that induces double-strand DNA breakage in cells; and

b) a package insert describing a coordinated administration to a patient of said anti-cancer compound and a DNA-PK inhibitor compound of claim1 or 6.

33. The article of manufacture according to claim 32 wherein said anti-cancer compound induces DNA double strand breaks.

34. The article of manufacture according to claim 32 wherein the anti-cancer compound is selected from the group consisting of bleomycin and etoposide.

35. An article of manufacture, comprising:

a) a compound selected from the group consisting of a cytokine, a lymphokine, a growth factor, and a hematopoietic factor; and

b) a package insert describing a coordinated administration to a patient of said compound and a DNA-PK inhibitor compound of claim 1 or 6.

36. An article of manufacture comprising:

a) a pharmaceutical composition comprising a DNA-PK inhibitor of claim 1 or 6 in a pharmaceutically acceptable carrier; and

b) a package insert describing a therapeutic treatment comprising administering the DNA-PK inhibitor.

37. An article of manufacture comprising:

a) a pharmaceutical composition comprising a DNA-PK inhibitor of claim 1 or 6 in a pharmaceutically acceptable carrier; and

b) a package insert desribing a therapeutic treatment comprising administering the DNA-PK inhibitor.